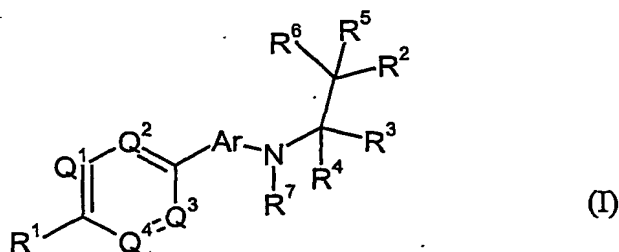


Claims

1. An phenyl or heteroaryl amino alkane derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof:



wherein

Ar represents phenylene or a 5 or 6 membered heteroaryl containing 1-3 heteroatoms selected from the group consisting of O, N and S,

wherein

said phenyl or a 5 or 6 membered heteroaryl optionally having one or more substituents selected from the group consisting of halogen, hydroxy, cyano, nitro, amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino, formyl, (C₁₋₆)alkylthio, (C₁₋₆)alkoxy and (C₁₋₆)alkyl optionally substituted by hydroxy, or mono-, di- or tri- halogen;

Q¹, Q², Q³ and Q⁴ independently represent CH, CR¹⁰ or N;

wherein

R¹⁰ represents halogen, cyano, amino, nitro, formyl, hydroxy-methyl, methylthio, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, or (C₁₋₆)alkoxy optionally substituted by phenyl;

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R¹ represents -OR¹¹, -CH₂NHR¹¹, -C(O)R¹¹, -C(O)NHR¹¹, -SR¹¹, -SOR¹¹,
-SO₂R¹¹, -NHR¹¹, -NHC(O)OR¹¹, -NHC(O)NR¹¹, -NHC(O)R¹¹,
-NHSO₂R¹¹, hydrogen, hydroxy, halogen,

5

a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring
optionally having one or two heteroatoms selected independently from
O or N,

10

(C₁₋₆)alkyl optionally substituted by aryloxyimino, (C₁₋₆) alkoxy
optionally substituted by aryl or heteroaryl,

or a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring
optionally having one or two heteroatoms selected independently from
O or N,

15

(C₂₋₆)alkenyl optionally substituted by a saturated or unsaturated 3-10
membered mono- or bi-cyclic ring optionally having one or two
heteroatoms selected independently from O or N,

20

(C₂₋₆)alkynyl optionally substituted by a saturated or unsaturated 3-10
membered mono- or bi-cyclic ring optionally having one or two
heteroatoms selected independently from O or N,

25

in any of which the saturated or unsaturated 3-10 membered mono- or
bi-cyclic ring may be optionally substituted by one or more substi-
tuents selected from the group consisting of

halogen, hydroxy, cyano, nitro, (C₁₋₆) alkylthio,

30

(C₁₋₆)alkyl optionally substituted by mono-, di-, or tri- halogen,

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(C₁₋₆)alkoxy optionally substituted by mono-, di-, or tri-halogen,

5 aryl optionally substituted by nitro, (C₁₋₆)alkyl or (C₁₋₆)alkoxy,

aralkyl optionally, at the aryl moiety, substituted by nitro, (C₁₋₆)alkyl or (C₁₋₆)alkoxy,

10 and

aryloxy optionally substituted by nitro, (C₁₋₆)alkyl or (C₁₋₆)alkoxy,

15 wherein

R¹¹ represents (C₁₋₆)alkoxy(C₁₋₆)alkylene,

20 a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

25 (C₁₋₆)alkyl optionally substituted by mono-, di- or tri-halogen or a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

30 (C₂₋₆)alkenyl optionally substituted by a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N, or

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(C₂₋₆)alkynyl optionally substituted by a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

5

in any of which the saturated or unsaturated 3-10 membered mono- or bi-cyclic ring may be optionally substituted by one or more substituents selected from the group consisting of

halogen, hydroxy, cyano, nitro,

10

(C₁₋₆)alkoxy optionally substituted by mono-, di-, or tri-halogen, and

(C₁₋₆)alkyl optionally substituted by mono-, di-, or tri-halogen;

15

R² represents hydrogen, hydroxy, amino, N-(C₁₋₆)alkylamino, (C₂₋₆)alkenyl, (C₂₋₆)alkynyl, (C₃₋₇)cycloalkyl, (C₁₋₆)alkylthio, (C₁₋₆)alkylsulfonyl, aryl, heteroaryl,

20

(C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, (C₁₋₆)alkylsulfonyl, (C₁₋₆)alkylthio, aryl or heteroaryl, or

(C₁₋₆)alkoxy optionally substituted by mono-, di- or tri-halogen, (C₁₋₆) alkylsulfonyl, aryl or heteroaryl,

25

in any of which the aryl or heteroaryl may optionally be substituted by one or more substituents selected from the group consisting of halogen, hydroxy, nitro, amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆) alkylamino, N-(4,5-dihydro-1H-imidazole)amino, (C₁₋₆)alkyl, phenyl, a 5 or 6 membered heteroaryl

30

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containing 1 to 3 heteroatoms selected from the group of O, N,
and S,

and

5

(C₁₋₆)alkoxy optionally substituted by morpholino, amino, N-
(C₁₋₆)alkylamino, or N,N-di(C₁₋₆) alkylamino;

10

R³ represents hydrogen or C₁₋₆alkyl optionally substituted mono-, di- or
tri- halogen;

R⁴ represents carboxy, tetrazolyl or N-(hydroxy)aminocarbonyl;

15

R⁵ represents hydrogen, (C₁₋₆)alkoxy, aryl, heteroaryl or (C₁₋₆)alkyl
optionally substituted by mono-, di- or tri- halogen;

R⁶ represents hydrogen or (C₁₋₆)alkyl optionally substituted by mono-, di-
or tri- halogen; and

20

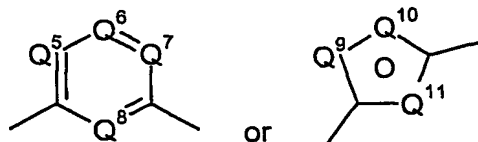
R⁷ represents hydrogen, or (C₁₋₆)alkyl.

2. The phenyl or heteroaryl amino alkane derivative of the formula (I), its
tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

25

wherein

Ar represents



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Q^5 , Q^6 , Q^7 and Q^8 independently represent CH, CR⁸ or N,

Q^9 , Q^{10} and Q^{12} independently represent O, S, CH, CR⁸, CH₂, NH, or
NR⁹,

wherein

R⁸ represents halogen, cyano, amino, nitro, formyl, hydroxymethyl, methylthio, (C₁₋₆)alkoxy, or (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen,

R⁹ represents (C₁₋₆)alkyl;

Q^1 , Q^2 , Q^3 and Q^4 independently represent CH, CR¹⁰ or N,

wherein

R¹⁰ represents halogen, amino, nitro, formyl, hydroxymethyl, methylthio, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, or (C₁₋₆)alkoxy optionally substituted by phenyl;

R¹ represents -OR¹¹, -CH₂NHR¹¹, -C(O)R¹¹, -C(O)NHR¹¹, -SR¹¹, -SOR¹¹, -SO₂R¹¹, -NHR¹¹, -NHC(O)R¹¹, -NHC(O)OR¹¹, -NHC(O)NR¹¹, -NHSO₂R¹¹, hydrogen, hydroxy, halogen,

a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

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(C₁₋₆)alkyl optionally substituted by aryloxyimino, (C₁₋₆)alkoxy optionally substituted by aryl or heteroaryl, or a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

5

(C₂₋₆)alkenyl optionally substituted by a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

10

(C₂₋₆)alkynyl optionally substituted by a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

15

in any of which the saturated or unsaturated 3-10 membered mono- or bi-cyclic ring may be optionally substituted by one or more substituents selected from the group consisting of

halogen, hydroxy, cyano, nitro, (C₁₋₆)alkylthio,

20

(C₁₋₆)alkyl optionally substituted by mono-, di-, or tri- halogen,

(C₁₋₆)alkoxy optionally substituted by mono-, di-, or tri-halogen,

25

aryl optionally substituted by nitro, (C₁₋₆)alkyl or (C₁₋₆) alkoxy,

aralkyl optionally, at the aryl moiety, substituted by nitro, (C₁₋₆)alkyl or (C₁₋₆)alkoxy,

30

and

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aryloxy optionally substituted by nitro, (C₁₋₆)alkyl or (C₁₋₆)alkoxy,

wherein

5

R¹¹ represents (C₁₋₆)alkoxy(C₁₋₆)alkylene,

10

a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

15

(C₁₋₆)alkyl optionally substituted by mono-, di- or tri-halogen or a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

20

(C₂₋₆)alkenyl optionally substituted by a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N, or

25

(C₂₋₆)alkynyl optionally substituted by a saturated or unsaturated 3-10 membered mono- or bi-cyclic ring optionally having one or two heteroatoms selected independently from O or N,

in any of which the saturated or unsaturated 3-10 membered mono- or bi-cyclic ring may be optionally substituted by one or more substituents selected from the group consisting of

halogen, hydroxy, cyano, nitro,

30

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(C₁₋₆)alkoxy optionally substituted by mono-, di-, or tri-halogen, and

(C₁₋₆)alkyl optionally substituted by mono-, di-, or tri-halogen;

R² represents hydrogen, hydroxy, amino, N-(C₁₋₆)alkylamino, (C₂₋₆)-alkenyl, (C₂₋₆)alkynyl, (C₃₋₇)cycloalkyl, (C₁₋₆)alkylthio, (C₁₋₆)alkylsulfonyl, aryl, heteroaryl,

(C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, (C₁₋₆)-alkylsulfonyl, (C₁₋₆)alkylthio, aryl or heteroaryl, or

(C₁₋₆)alkoxy optionally substituted by mono-, di- or tri- halogen, (C₁₋₆)alkylsulfonyl, aryl or heteroaryl,

in any of which the aryl or heteroaryl may optionally be substituted by one or more substituents selected from the group consisting of halogen, hydroxy, nitro, amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino, N-(4,5-dihydro-1H-imidazole)amino, (C₁₋₆)alkyl, phenyl, a 5 or 6 membered heteroaryl containing 1 to 4 heteroatoms selected from the group of O, N, and S,

and

(C₁₋₆)alkoxy optionally substituted by morpholino, amino, N-(C₁₋₆)-alkylamino, or N,N-di(C₁₋₆) alkylamino;

R³ represents hydrogen, or C₁₋₆ alkyl optionally substituted mono, di- or tri- halogen;

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R^4 represents carboxy, tetrazolyl or N-(hydroxy)aminocarbonyl;

R^5 represents hydrogen, (C₁₋₆)alkyl, (C₁₋₆)alkoxy, aryl or heteroaryl;

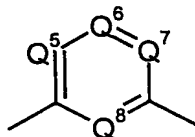
5 R^6 represents hydrogen; and

R^7 represents hydrogen, or (C₁₋₆)alkyl.

10 3. The phenyl or heteroaryl amino alkane derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

Ar represents



15

Q^5 , Q^6 , Q^7 and Q^8 independently represent CH, CR⁸ or N,

wherein

20 R^8 represents halogen, cyano, amino, nitro, formyl, hydroxymethyl, methylthio, (C₁₋₆)alkoxy, or (C₁₋₆)alkyl optionally substituted by mono-, di-, or tri- halogen;

Q^1 , Q^2 , Q^3 and Q^4 independently represent CH, CR¹⁰ or N,

25

wherein

R^{10} represents halogen, amino, nitro, formyl, trifluoromethyl, hydroxymethyl, methylthio or benzyloxy;

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R¹ represents -OR¹¹, -CH₂OR¹¹, -CH₂NHR¹¹, -C(O)R¹¹, -C(O)NHR¹¹,
-SR¹¹, -SOR¹¹, -SO₂R¹¹, -NHR¹¹, -NHC(O)R¹¹, -NHC(O)OR¹¹,
-NHC(O)NR¹¹, -NH₂SO₂R¹¹, hydrogen, hydroxy, halogen,

5

(C₁₋₆)alkyl optionally substituted by phenoxyimino, (C₁₋₆)alkoxy or
R¹²,

wherein

10

said (C₁₋₆) alkoxy optionally substituted by pyrrolyl, pyrazolyl,
imidazolyl, phenyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidin-
yl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl,
isoquinolyl, or dihydroisoquinolyl,

15

(C₂₋₆)alkenyl optionally substituted by R¹²,

(C₂₋₆)alkynyl optionally substituted by R¹², or

20

one of the following carbocyclic or heterocyclic rings selected from
the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclo-
hexyl, pyrrolidinyl, pyrrolyl, piperidino, piperidyl, piperazinyl,
pyrazolyl, imidazolyl, phenyl, pyridyl, pyrazinyl, pyridazinyl,
pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl,
isoquinolyl, and dihydroisoquinolyl,

25

in any of which the carbocyclic or heterocyclic rings may optionally
be substituted with 1 to 3 substituents selected from the group
consisting of hydroxy, halogen, nitro, cyano, carboxy, amino, N-
(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino, (C₁₋₆)alkylthio, phenyl,
30 phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-

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di- or tri- halogen, and (C₁₋₆)alkoxy optionally substituted by mono-,
di- or tri- halogen, or phenyl;

wherein

5

R¹¹ represents (C₁₋₆)alkoxy (C₁₋₆)alkylene,

(C₁₋₆)alkyl optionally substituted by R¹⁰¹,

10

(C₂₋₆)alkenyl optionally substituted by R¹⁰¹,

(C₂₋₆)alkynyl optionally substituted by R¹⁰¹, or

15

one of the following carbocyclic or heterocyclic rings selected from
the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclo-
hexyl, pyrrolidinyl pyrrolyl, piperidino, piperidyl, piperaziny, pyrazolyl, imidazolyl, phenyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, and dihydroisoquinolyl,

20

in any of which the carbocyclic or heterocyclic rings may optionally
be substituted with 1 to 3 substituents selected from the group
consisting of hydroxy, halogen, nitro, cyano, carboxy, amino, N-
(C₁₋₆alkyl)amino, N,N-di(C₁₋₆alkyl)amino, (C₁₋₆)alkylthio, phenyl,
phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-,
di- or tri- halogen, and (C₁₋₆)alkoxy optionally substituted by mono-,
di- or tri- halogen,

25

R¹⁰¹ represents one of the following carbocyclic or heterocyclic
rings selected from the group consisting of cyclopropyl, cyclo-
butyl, cyclopentyl, cyclohexyl, pyrrolidinyl, pyrrolyl,

30

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piperidino, piperidyl, piperazinyl, pyrazolyl, imidazolyl, phenyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzo-dioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, and dihydroisoquinolyl,

5

in any of which the carbocyclic or heterocyclic rings may optionally be substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, carboxy, amino, N-(C₁₋₆alkyl)amino, N,N-di(C₁₋₆alkyl)amino, (C₁₋₆)alkylthio, phenyl, phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, and (C₁₋₆)alkoxy optionally substituted by mono-, di-, or tri-halogen;

10

15

R¹² represents one of the following carbocyclic or heterocyclic rings selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl pyrrolyl, piperidino, piperidyl, piperazinyl, pyrazolyl, imidazolyl, phenyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzo-dioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, and dihydroisoquinolyl,

20

in any of which the carbocyclic or heterocyclic rings may optionally be substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, carboxy, amino, N-(C₁₋₆alkyl)amino, N,N-di(C₁₋₆alkyl)amino, (C₁₋₆)alkylthio, phenyl, phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, and (C₁₋₆)alkoxy optionally substituted by mono-, di- or tri-halogen;

25

30

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R^2 represents hydrogen, hydroxy, amino, N-(C₁₋₆)alkylamino, (C₂₋₆)-alkenyl, (C₂₋₆)alkynyl, (C₃₋₇)cycloalkyl, pyrimidinyl, indolyl, pyridyl, (C₁₋₆)alkoxy optionally substituted by amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino, or phenyl, (C₁₋₆)alkyl optionally substituted by phenyl, mono-, di- or tri- halogen, (C₁₋₆)alkylthio, or (C₁₋₆)alkylsulfonyl, phenyl optionally substituted by halogen, hydroxy, nitro, amino, N-(C₁₋₆)alkylamino, N-(dihydroimidazolyl)amino, (C₁₋₆)alkyl, or (C₁₋₆)-alkoxy optionally substituted by R^{21} ,

wherein

R^{21} represents amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)-alkylamino, or morpholino;

R^3 represents hydrogen, or (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen;

R^4 represents carboxy, tetrazolyl or N-(hydroxy)aminocarbonyl;

R^5 represents hydrogen, (C₁₋₆)alkyl, (C₁₋₆)alkoxy, phenyl, pyridyl, pyrazinyl, pyrimidinyl, or pyridazinyl;

R^6 represents hydrogen; and

R^7 represents hydrogen or (C₁₋₆)alkyl.

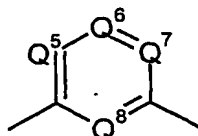
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4. The phenyl or heteroaryl amino alkane derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

5

Ar represents



Q^5 and Q^7 independently represent CH or N,

10

Q^6 and Q^8 independently represent CH or CR^8 ,

wherein

15

R^8 represents halogen, cyano, amino, nitro, formyl, hydroxymethyl, methylthio or trifluoromethyl;

Q^1 independently represent represents CH or CR^{10} ,

wherein

20

R^{10} represents halogen, cyano, amino, nitro, formyl, trifluoromethyl, hydroxymethyl, methylthio or benzyloxy;

Q^2 , Q^3 and Q^4 represent CH;

25

R^1 represents $-OR^{11}$, $-CH_2NHR^{11}$, $-C(O)R^{11}$, $-C(O)NHR^{11}$, $-SR^{11}$, $-SOR^{11}$, $-SO_2R^{11}$, $-NHR^{11}$, $-NHC(O)R^{11}$, $-NHC(O)OR^{11}$, $-NHC(O)NR^{11}$, $-NHSO_2R^{11}$, hydrogen, hydroxy, halogen,

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(C₁₋₆) alkyl optionally substituted by (C₁₋₆) alkoxy or R¹²,

wherein

5 said (C₁₋₆) alkoxy optionally substituted by pyrrolyl, pyrazolyl, imidazolyl, phenyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, or dihydroisoquinolyl,

10 (C₂₋₆)alkenyl optionally substituted by R¹²,

(C₂₋₆)alkynyl optionally substituted by R¹², or

15 one of the following carbocyclic or heterocyclic rings selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, pyrrolyl, piperidino, piperidyl, piperazinyl, pyrazolyl, imidazolyl, phenyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, and dihydroisoquinolyl,

20 in any of which the carbocyclic or heterocyclic rings may optionally be substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, amino, N-(C₁₋₆alkyl)-amino, N,N-di(C₁₋₆alkyl)amino, (C₁₋₆)alkylthio, phenyl, phenoxy, benzyl, naphthyl,

25 (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, and

30 (C₁₋₆)alkoxy optionally substituted by mono-, di- or tri- halogen,

wherein

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R¹¹ represents (C₁₋₆)alkoxy(C₁₋₆)alkylene,

(C₁₋₆)alkyl optionally substituted by R¹⁰¹,

5

(C₂₋₆)alkenyl optionally substituted by R¹⁰¹,

(C₂₋₆)alkynyl optionally substituted by R¹⁰¹, or

10

one of the following carbocyclic or heterocyclic rings selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl pyrrolyl, piperidino, piperidyl, piperazinyl, pyrazolyl, imidazolyl, phenyl, pyridyl, pyrazinyl, pyridazinyl, pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, and dihydroisoquinolyl,

15

in any of which the carbocyclic or heterocyclic rings may optionally be substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino, (C₁₋₆)alkylthio, phenyl, phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, and (C₁₋₆)alkoxy optionally substituted by mono-, di- or tri- halogen,

20

25

R¹⁰¹ represents one of the following carbocyclic or heterocyclic rings selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl pyrrolyl, phenyl, pyridyl, pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, and dihydroisoquinolyl,

30

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5 in any of which the carbocyclic or heterocyclic rings may optionally be substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, amino, N-(C₁₋₆alkyl)amino, N,N-di(C₁₋₆alkyl)amino, (C₁₋₆)alkylthio, phenyl, phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri-halogen, and (C₁₋₆)alkoxy optionally substituted by mono-, di- or tri- halogen;

10 R¹² represents one of the following carbocyclic or heterocyclic rings selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl pyrrolyl, phenyl, pyridyl, pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, and dihydroisoquinolyl,

15 in any of which the carbocyclic or heterocyclic rings may optionally be substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino, (C₁₋₆)alkylthio, phenyl, phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, and (C₁₋₆)alkoxy optionally substituted by mono-, di- or tri- halogen;

20 R² represents hydrogen, hydroxy, (C₂₋₆)alkenyl, (C₂₋₆)alkynyl, (C₃₋₇)cycloalkyl, pyrimidinyl, indolyl, pyridyl,

(C₁₋₆)alkoxy optionally substituted by amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino or phenyl,

30 (C₁₋₆)alkyl optionally substituted by phenyl, mono-, di- or tri- halogen, (C₁₋₆) alkylthio or (C₁₋₆)alkylsulfonyl,

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phenyl optionally substituted by halogen, hydroxy, nitro, amino, N-(C₁₋₆)alkylamino, N-(dihydroimidazolyl)amino, (C₁₋₆)alkyl, (C₁₋₆)alkoxy optionally substituted by R²¹

5

wherein

R²¹ represents amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino or morpholino;

10

R³ represents hydrogen or (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen;

R⁴ represents carboxy, tetrazolyl or N-(hydroxy)aminocarbonyl;

15

R⁵ represents hydrogen, (C₁₋₆)alkyl, (C₁₋₆)alkoxy, phenyl or pyridinyl;

R⁶ represents hydrogen; and

20

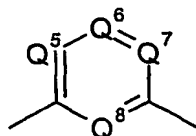
R⁷ represents hydrogen, methyl or ethyl.

5. The phenyl or heteroaryl amino alkane derivative of the formula (I), its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

25

wherein

Ar represents



Q⁵ and Q⁷ represent N;

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Q^6 and Q^8 independently represent CH or CR^8 ,

wherein

5

R^8 represents fluoro, chloro, amino, nitro, formyl, hydroxymethyl, trifluoromethyl, or methylthio;

Q^1 , Q^2 , Q^3 and Q^4 represent CH or CR^{10} ,

10

wherein

R^{10} represents halogen, amino, nitro, formyl, trifluoromethyl, hydroxymethyl, methylthio or benzyloxy;

15

R^1 represents $-OR^{11}$, $-CH_2NHR^{11}$, $-C(O)R^{11}$, $-C(O)NHR^{11}$, $-SR^{11}$, $-SOR^{11}$, $-SO_2R^{11}$, $-NHR^{11}$, $-NHC(O)R^{11}$, $-NHC(O)OR^{11}$, $-NHC(O)NR^{11}$, $-NHSO_2R^{11}$, hydrogen, hydroxy, halogen, benzodioxolyl, naphthyl,

20

phenyl optionally substituted with 1 to 3 substituents selected from the group consisting of nitro, (C_{1-6}) alkoxy, (C_{1-6}) alkylthio, phenyl, and phenoxy,

25

(C_{1-6}) alkyl optionally substituted by anilino, N-(benzyl)amino, indolyl, isoindolyl, quinolyl, isoquinolyl, dihydroisoquinolyl, phenoxymino, phenyl optionally substituted by halogen, or (C_{1-6}) alkoxy,

wherein

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said (C₁₋₆) alkoxy optionally substituted by phenyl, pyridyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, isoquinolyl, or dihydroisoquinolyl,

5 (C₂₋₆)alkenyl optionally substituted by phenyl,

(C₂₋₆)alkynyl optionally substituted by phenyl,

wherein

10

R¹¹ represents (C₁₋₆)alkoxy(C₁₋₆)alkylene,

(C₁₋₆) alkyl optionally substituted by R¹⁰¹,

15

(C₂₋₆)alkenyl optionally substituted by R¹⁰¹,

(C₂₋₆)alkynyl optionally substituted by R¹⁰¹, or

20

one of the following carbocyclic or heterocyclic rings selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl pyrrolyl, phenyl, pyridyl, pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, and dihydroisoquinolyl,

25

in any of which the carbocyclic or heterocyclic rings may optionally be substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, (C₁₋₆)alkylthio, phenyl, phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, or (C₁₋₆)alkoxy optionally substituted by mono-, di- or tri- halogen,

30

5 R^{101} represents one of the following carbocyclic or heterocyclic rings selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, pyrrolidinyl, pyrrolyl, phenyl, pyridyl, pyrimidinyl, benzodioxolyl, naphthyl, indolyl, isoindolyl, quinolyl, and dihydroisoquinolyl,

10 in any of which the carbocyclic or heterocyclic rings may optionally be substituted with 1 to 3 substituents selected from the group consisting of hydroxy, halogen, nitro, cyano, (C₁₋₆)-alkylthio, phenyl, phenoxy, benzyl, naphthyl, (C₁₋₆)alkyl optionally substituted by mono-, di- or tri- halogen, and (C₁₋₆)-alkoxy optionally substituted by mono-, di- or tri- halogen,

15 R^2 represents hydrogen, hydroxy, (C₂₋₆)alkenyl, (C₂₋₆)alkynyl, pyrimidinyl, indolyl, pyridyl,

(C₁₋₆)alkoxy optionally substituted by phenyl,

20 (C₁₋₆)alkyl optionally substituted by phenyl, methylthio, mono-, di- or tri- halogen, or (C₁₋₆) alkylsulfonyl,

phenyl optionally substituted by halogen, hydroxy, nitro, amino, N-(dihydroimidazolyl)amino or (C₁₋₆)alkoxy,

25 wherein

said (C₁₋₆)alkoxy optionally substituted by amino, N-(C₁₋₆)-alkylamino, N,N-di(C₁₋₆)alkylamino, or morpholino;

30 R^3 represents hydrogen or (C₁₋₆)alkyl;

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R^4 represents carboxy, tetrazolyl or N-(hydroxy)aminocarbonyl;

R^5 represents hydrogen, phenyl or pyridyl;

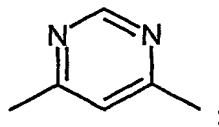
5 R^6 represents hydrogen; and

R^7 represents hydrogen.

6. The phenyl or heteroaryl amino alkane derivative of the formula (I), its
10 tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1,

wherein

Ar represents



Q^1 , Q^2 , Q^3 and Q^4 represent CH;

20 R^1 represents hydrogen, hydroxy, halogen, benzodioxolyl, naphthyl, cyclopropylmethoxy, cyclobutylmethoxy, cyclopentylmethoxy, cyclohexylmethoxy, cyclopentylcarbonyl, cyclohexylcarbonyl, pyrrolidinylmethoxy, pyrrolidinylethoxy, phenoxy, benzyloxy, fluorobenzyloxy, difluorobenzyloxy, hydroxybenzyloxy, methoxybenzyloxy, dimethoxybenzyloxy,
25 1H-pyrrolylmethoxy, 1H-pyrrolylethoxy, pyridinyloxy, trifluoromethylpyridinyloxy, pyridinylmethoxy, phenylethoxy, pyridinylethoxy, phenylpropoxy, cyanopyridinyloxy, pyrimidinylmethoxy, trifluoromethylpyrimidinylmethoxy, quinolinylmethoxy, benzoyl, fluorobenzoyl, chlorobenzoyl, anilinocarbonyl,

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benzylamino, benzoylamino, phenylacetamino, phenylsulfonamino, fluoro phenylsulfonamino, cyclopropylmethylamino, anilinoethyl,

5 phenyl optionally substituted with 1 to 3 substituents selected from the group consisting of nitro, methoxy, ethoxy, methylthio, phenyl, and phenoxy,

10 (C₁₋₆)alkyl optionally substituted by anilino, N-(benzyl)amino, indolyl, isoindolyl, quinolyl, isoquinolyl, dihydroisoquinolyl, phenoxy, phenoxyimino, or phenyl optionally substituted by halogen,

15 (C₂₋₆)alkenyl optionally substituted by phenyl,

(C₂₋₆)alkynyl optionally substituted by phenyl, or

(C₁₋₆)alkoxy optionally substituted by trifluoro or methoxy;

20 R² represents hydrogen, (C₂₋₆)alkenyl, (C₂₋₆)alkynyl, pyrimidinyl, indolyl, pyridyl,

(C₁₋₆)alkoxy optionally substituted by phenyl,

25 (C₁₋₆)alkyl optionally substituted by phenyl, methylthio, mono-, di- or tri- halogen, or (C₁₋₆)alkylsulfonyl,

30 phenyl optionally substituted by halogen, hydroxy, nitro, amino, N-(dihydroimidazolyl)amino or (C₁₋₆)alkoxy optionally substituted by amino, N-(C₁₋₆)alkylamino, N,N-di(C₁₋₆)alkylamino, or morpholino;

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R³ represents hydrogen;

R⁴ represents carboxy or tetrazolyl;

5 R⁵ represents hydrogen;

R⁶ represents hydrogen; and

R⁷ represents hydrogen.

10

7. The phenyl or heteroaryl amino alkane derivative, its tautomeric or stereoisomeric form, or a salt thereof as claimed in claim 1, wherein said derivative is selected from the group consisting of the following compounds:

15

3-(2-aminoethoxy)-N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}phenylalanine;

4-chloro-N-{6-[4-(cyclopropylmethoxy)phenyl]pyrimidin-4-yl}phenylalanine;

N-(6-{4-[(2-fluorobenzyl)oxy]phenyl}pyrimidin-4-yl)phenylalanine;

20

N-(6-{4-[(3,5-difluorobenzyl)oxy]phenyl}pyrimidin-4-yl)-3-pyridin-2-ylalanine;

N-(6-{4-[(3,5-difluorobenzyl)oxy]phenyl}pyrimidin-4-yl)norleucine;

N-(6-{4-[(3,5-difluorobenzyl)oxy]phenyl}pyrimidin-4-yl)phenylalanine;

N-(6-{4-[(3,5-dimethoxybenzyl)oxy]phenyl}pyrimidin-4-yl)-3-pyridin-2-ylalanine;

25

N-(6-{4-[(3,5-dimethoxybenzyl)oxy]phenyl}pyrimidin-4-yl)norleucine;

N-(6-{4-[(3,5-dimethoxybenzyl)oxy]phenyl}pyrimidin-4-yl)phenylalanine;

N-(6-{4-[(3-fluorobenzyl)oxy]phenyl}pyrimidin-4-yl)-3-pyridin-2-ylalanine;

N-(6-{4-[(3-fluorobenzyl)oxy]phenyl}pyrimidin-4-yl)phenylalanine;

30

N-(6-{4-[(3-methoxybenzyl)oxy]phenyl}pyrimidin-4-yl)-3-pyridin-2-ylalanine;

- N-(6-{4-[(3-methoxybenzyl)oxy]phenyl}pyrimidin-4-yl)norleucine;
N-(6-{4-[(3-methoxybenzyl)oxy]phenyl}pyrimidin-4-yl)phenylalanine;
N-(6-{4-[(4-fluorobenzyl)oxy]phenyl}pyrimidin-4-yl)phenylalanine;
N-(6-{4-[2-(1H-pyrrol-1-yl)ethoxy]phenyl}pyrimidin-4-yl)phenylalanine;
5 N-[6-(3'-methoxybiphenyl-4-yl)pyrimidin-4-yl]phenylalanine;
N-[6-(4'-methoxybiphenyl-4-yl)pyrimidin-4-yl]phenylalanine;
N-{6-[4-(1,3-benzodioxol-5-yl)phenyl]pyrimidin-4-yl}phenylalanine;
N-{6-[4-(2-phenylethoxy)phenyl]pyrimidin-4-yl}-3-pyridin-2-ylalanine;
N-{6-[4-(2-phenylethoxy)phenyl]pyrimidin-4-yl}phenylalanine;
10 N-{6-[4-(benzyloxy)-3-fluorophenyl]pyrimidin-4-yl}-3-pyridin-2-ylalanine;
N-{6-[4-(benzyloxy)-3-fluorophenyl]pyrimidin-4-yl}phenylalanine;
N-{6-[4-(benzyloxy)phenyl]-5-fluoropyrimidin-4-yl}phenylalanine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-3-(2-morpholin-4-ylethoxy)-
phenylalanine;
15 N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-3-[2-(dimethylamino)ethoxy]-
phenylalanine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-3-hydroxyphenylalanine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-3-pyridin-2-yl-alanine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-4-chlorophenylalanine;
20 N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-4-fluorophenylalanine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-norleucine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-phenylalanine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}tryptophan;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}tyrosine;
25 N-{6-[4-(cyclopropylmethoxy)phenyl]pyrimidin-4-yl}-4-fluorophenyl-
alanine;
N-{6-[4-(cyclopropylmethoxy)phenyl]pyrimidin-4-yl}-phenylalanine;
N-{6-[4-(phenoxymethyl)phenyl]pyrimidin-4-yl}phenylalanine;
N-{6-[4-(phenylethynyl)phenyl]pyrimidin-4-yl}phenylalanine;
30 N-{6-[4-(pyridin-3-ylmethoxy)phenyl]pyrimidin-4-yl}phenylalanine; and
N-{6-[6-(benzyloxy)pyridin-3-yl]pyrimidin-4-yl}phenylalanine;

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8. The phenyl or heteroaryl amino alkane derivative, its tautomeric or a salt thereof as claimed in claim 1, wherein said derivative is selected from the group consisting of the following compounds:

5
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-3-pyridin-2-yl-D-alanine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-D-norleucine;
N-{6-[4-(benzyloxy)phenyl]pyrimidin-4-yl}-D-phenylalanine; and
N-{6-[4-(cyclopropylmethoxy)phenyl]pyrimidin-4-yl}-D-phenylalanine.

- 10
9. A medicament comprising the phenyl or heteroaryl amino alkane derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof as claimed in claim 1 as an active ingredient.

- 15
10. The medicament as claimed in claim 9, further comprising one or more pharmaceutically acceptable excipients.

11. The medicament as claimed in claim 9, wherein the phenyl or heteroaryl amino alkane derivative, its tautomeric or stereoisomeric form, or a physiologically acceptable salt thereof is an IP receptor antagonist.

12. The medicament as claimed in claim 9 for prophylaxis and/or treatment of urological disorder or disease.

13. The medicament as claimed in claim 9 for prophylaxis and/or treatment of pain.

14. The medicament as claimed in claim 9 for prophylaxis and/or treatment of hypotension.

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15. The medicament as claimed in claim 9 for prophylaxis and/or treatment of hemophilia and hemorrhage.
- 5 16. The medicament as claimed in claim 9 for prophylaxis and/or treatment of inflammation.
17. Use of compounds according to Claims 1 for manufacturing a medicament for the treatment and/or prophylaxis of urological disorders.
- 10 18. Use of compounds according to Claims 1 for manufacturing a medicament for the treatment and/or prophylaxis of pain.
19. Use of compounds according to Claims 1 for manufacturing a medicament for the treatment and/or prophylaxis of hypotension.
- 15 20. Use of compounds according to Claims 1 for manufacturing a medicament for the treatment and/or prophylaxis of hemophilia and hemorrhage.
21. Use of compounds according to Claims 1 for manufacturing a medicament for the treatment and/or prophylaxis of inflammation.
- 20 22. Process for controlling urological disorders in humans and animals by administration of an IP receptor-antagonistically effective amount of at least one compound according to claims 1.
- 25